DEC 0 7 2004
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by evapaoration.

To MeOH solution (8 ml) of above residue, 40% metanol solution of methylamine 800mg was added and stirring was continued at room temperature overnight. Solvent was removed by evaporation. Further purification by silica gel chromatography gave a desired free product as an oil.

To ethylacetate solution (0.5 ml) of the above oil under cooling on ice-water, 4N-HCl/ethylacetate (0.5 ml) was added. and stirring was continued for 10 min. Evaporation of the solvent gave desired product (24.1 mg) as a white powder. NMR, FAB-MS spectra were consistent with the desired title product.

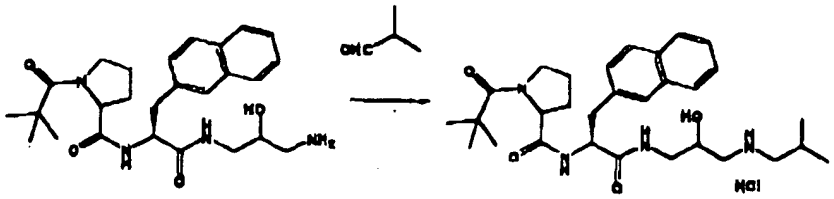
^{1}H-NMR(270MHz,DMSO-d$_6$)δ: 0.84(6H,m), 1.80-1.80(6H,m), 1.92(2H,m), 2.34(1H,m), 2.55(3H,s), 2.80(2H,m), 3.00-3.70(6H,m), 3.97(1H,m), 4.25(1H,m), 4.88(1H,m), 7.42(4H,m), 7.69(1H,s), 7.76(4H,m).

FAB-MS : m/z 497(M+H)$^+$

Compounds of examples 81, 124, 126, 134, 135,141, 169, were synthesized by using similar method of example 35.

[Example 118] (Method V)

N-[3-(2-methylpropylamino)-2-hydroxypropyl]-2(R)-[1-(2,2-dimethylpropionyl)-pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride



To a mixture of N-(3-amino-2-hydroxypropyl)-2(R)-[1-(2,2-dimethylpropionyl)-pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide 1.81 g (3.87 mmol) and molecular shieve (3A) 1.5g in methanol, isobutylaldehyde 307 mg (4.25 mmol) and sodium cyano borohydride 243 mg (3.87 mmol) were added under stirring. And then stirring was continued at room temperature overnight. Molecular shieve was removed by filtration. The filtrate was evaporated to dryness. Desired intermediate was purified by column chromatography on silica gel with chloroform and then chloroform:methanol (10:1). Appropriate fractions were collected and then evaporated to dryness.

To methanol solution (10 ml) of the above residue under cooling on ice-water,

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